SINU CTURE

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Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: TANE ZARA Examiner #: 775/2 Date: 11-30-67 Art Unit: 1635 Phone Number: 2-0765 Serial Number: 10/584, 481
Location (Bldg/Room#): 2 #59 (Mailbox #): 2 P Results Format Preferred (circle): PER DISK ***********************************
To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:
Title of Invention: <u>Method</u> for Controlling SR Protein Phes Inventors (please provide full names): <u>M. HAG, WARA</u> et al.
Inventors (please provide full names): M. HAGIWARA et al.
Earliest Priority Date: 6-23-66
Search Topic: Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known
For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.
Please Search the Structure
ob claire 16, 24, 26
(clamo ne attended).
1 1-0-0

Author Search

=> FILE HCAPLUS

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FILE COVERS 1907 - 3 Dec 2007 VOL 147 ISS 24 FILE LAST UPDATED: 2 Dec 2007 (20071202/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

STR

=> D QUE L16

L5

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation: Uploading strD.str

```
chain nodes :
7 8 9 10 11 12 22 23 24 25 28 29
ring nodes :
1 2 3 4 5 6 16 17 18 19 20 21 30 31 32 33 34 35 36 37 38 39
40 41 42 43 44 45 46 47
chain bonds :
1-29 4-28 6-7 7-8 8-16 9-10 11-12 22-23 22-24 22-25
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21 30-31 30-
31-32 32-33 33-34 34-35 36-37 36-41 37-38 38-39 39-40 40-41 42-43 42-47
43-44 44-45
45-46 46-47
exact/norm bonds :
1-29 4-28 6-7 7-8 8-16 9-10 11-12 30-31 30-35 31-32 32-33 33-34 34-35
36-37 36-41 37-38 38-39 39-40 40-41 42-43 42-47 43-44 44-45 45-46 46-47
exact bonds :
22-23 22-24 22-25 37-48
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21
G1:[*1],[*2]
G2:H,X,[*3]
G3: [*4], [*5], [*6]
Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:CLASS
23:CLASS 24:CLASS
25:CLASS 28:CLASS 29:CLASS
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36:Atom
37:Atom 38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom
46:Atom 47:Atom
48:CLASS
L7
           19 SEA FILE=REGISTRY SSS FUL L5
rs
            2 SEA FILE=HCAPLUS ABB=ON PLU=ON
                                            L7
L12
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                                             HAGIWARA M?/AU
L13
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L14
         22303 SEA FILE=HCAPLUS ABB=ON
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L15
           879 SEA FILE=HCAPLUS ABB=ON
                                     PLU=ON HOSOYA T?/AU
            2 SEA FILE=HCAPLUS ABB=ON PLU=ON (L12 OR L13 OR L14 OR L15)
L16
              AND L8
=> D IBIB ED ABS HITSTR 1-2 L16
L16 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
```

2006:782685 HCAPLUS Full-text ACCESSION NUMBER: 145:347810 DOCUMENT NUMBER: TITLE: Utilization of host SR protein kinases and RNA-splicing machinery during viral replication AUTHOR(S):

Tukuhara, Takeshi; Hosoya, Takamitsu;

Shimizu, Saki; Sumi, Kengo; Oshiro, Takako; Yoshinaka,

Yoshiyuki; Suzuki, Masaaki; Yamamoto, Naoki;

Herzenberg, Leonore A.; Herzenberg, Leonard A.;

Hagiwara, Masatoshi

CORPORATE SOURCE: Laboratory of Gene Expression, School of Biomedical

Science, Tokyo Medical and Dental University, Tokyo,

113-8510, Japan

SOURCE: Proceedings of the National Academy of Sciences of the

United States of America (2006), 103(30), 11329-11333

CODEN: PNASA6; ISSN: 0027-8424 National Academy of Sciences

PUBLISHER: Nation DOCUMENT TYPE: Journ

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:347810

ED Entered STN: 09 Aug 2006

Although the viral genome is often quite small, it encodes a broad series of AΒ proteins. The virus takes advantage of the host-RNA-processing machinery to provide the alternative splicing capability necessary for the expression of this proteomic diversity. Serine-arginine-rich (SR) proteins and the kinases that activate them are central to this alternative splicing machinery. In studies reported here, the authors use the HIV genome as a model. The authors show that HIV expression decreases overall SR protein/activity. However, the authors also show that HIV expression is significantly increased (20-fold) when one of the SR proteins, SRp75 is phosphorylated by SR protein kinase (SRPK)2. Thus, inhibitors of SRPK2 and perhaps of functionally related kinases, such as SRPK1, could be useful antiviral agents. Here, the authors develop this hypothesis and show that HIV expression down-regulates SR proteins in Flp-In293 cells, resulting in only low-level HIV expression in these cells. However, increasing SRPK2 function up-regulates HIV expression. In addition, the authors introduce SR protein phosphorylation inhibitor 340 (SRPIN340), which preferentially inhibits SRPK1 and SRPK2 and down-regulates SRp75. Although an isonicotinamide compound, SPRIN340 (or its derivs.) remain to be optimized for better specificity and lower cytotoxicity, the authors show here that SRPIN340 suppresses propagation of Sindbis virus in plague assay and variably suppresses HIV production Thus, the authors show that SRPK, a well known kinase in the cellular RNA-processing machinery, is used by at least some viruses for propagation and hence suggest that SRPIN340 or its derivs. may be useful for curbing viral diseases.

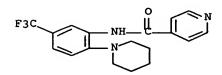
IT 218156-96-8P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(utilization of host SR protein kinases and RNA-splicing machinery during viral replication)

RN 218156-96-8 HCAPLUS

CN 4-Pyridinecarboxamide, N-[2-(1-piperidinyl)-5-(trifluoromethyl)phenyl](CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: DOCUMENT NUMBER:

2005:612118 HCAPLUS Full-text

143:126753

TITLE:

Method of regulating phosphorylation of sr protein and

antiviral agents comprising sr protein activity

regulator as the active ingredient

INVENTOR(S): Hagiwara, Masatoshi; Fukuhara,

Takeshi; Suzuki, Masaaki; Hosoya,

Takamitsu

PATENT ASSIGNEE(S):

Japan

SOURCE:

PCT Int. Appl., 122 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	TENT	NO.			KIN	D	DATE			APP:	LICAT	ION :	NO.		D	ATE	
WO	2005	0632	93		A1		2005	0714		WO .	2004-	JP19	393		2	0041	224
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	, MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU	, SC,	SD,	SE,	SG,	SK,	SL,	SY,
•		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪG,	US	, UZ,	VC,	VN,	ΥU,	ZA,	ZM,	zw
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD	, SL,	SZ,	TZ,	ŪG,	ZM,	ZW,	AM,
		AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT	, BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS	, IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG	, CI,	CM,	GA,	GN,	GQ,	GW,	ML,
		MR,	ΝE,	SN,	TD,	TG											
AU	2004	30882	25		A1						2004-				-	0041	
CA	2551	602			A 1		2005	0714	1	CA :	2004-	2551	602		2	0041	224
EP											2004-						
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		-	SI,	LT,	FI,		•	•			, EE,	•	•	•			
	1921				Α						2004-						
	2006										2006-1						
	2007						2007				2006-				_	0060	
	2007				A1		2007	0614			2007-				_	0070	
ORIT	Y APP	LN.	INFO	.:							2003-				_		
									1	WO :	2004-	JP19:	393		W 2	0041	224

OTHER SOURCE(S): MARPAT 143:126753

ED Entered STN: 15 Jul 2005

(Uses)

AB It is intended to provide: (1) antiviral agents lowering or inhibiting the activity of an SR protein, more specifically speaking, (i) an antiviral agent promoting the dephosphorylation of an SR protein and (ii) an antiviral agent inhibiting a protein phosphorylating an SR protein; (2) an antiviral agent inhibiting the expression of an SR protein; and (3) an antiviral agent activating a protein having an opposite function to an SR protein. It is also intended to provide compds. which inhibit SRPK phosphorylating an SR protein. These compds. inhibit the activity of the SR protein and show an antiviral effect. Thus, antiviral agents which are efficacious against a novel virus and widely applicable and show a highly sustained effect are provided to cope with the occurrence of various novel viruses.

IT 218156-96-8P 858126-27-9P 858126-30-4P
858362-05-7P, GIF 0613 858362-17-1P, GIF 0616
858362-19-3P, GIF 0341 858362-21-7P, GIF 0349
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(method of regulating phosphorylation of sr protein and antiviral agents comprising aniline derivs. as sr protein activity regulators)

RN 218156-96-8 HCAPLUS

CN 4-Pyridinecarboxamide, N-[2-(1-piperidinyl)-5-(trifluoromethyl)phenyl]-(CA INDEX NAME)

RN 858126-27-9 HCAPLUS

CN 4-Pyridinecarboxamide, N-[5-fluoro-2-(1-piperidinyl)phenyl]- (CA INDEX NAME)

RN 858126-30-4 HCAPLUS

CN 4-Pyridinecarboxamide, N-[2-(3,4-dihydro-2(1H)-isoquinolinyl)-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)

RN 858362-05-7 HCAPLUS

CN 4-Pyridinecarboxamide, N-[2-[(2S)-2-methyl-1-piperidinyl]-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 858362-17-1 . HCAPLUS

CN 4-Pyridinecarbothioamide, N-[2-(1-piperidinyl)-5-(trifluoromethyl)phenyl]-(CA INDEX NAME)

RN 858362-19-3 HCAPLUS

CN 4-Pyridinecarboxamide, N-[5-chloro-2-(1-piperidinyl)phenyl]- (CA INDEX NAME)

RN 858362-21-7 HCAPLUS

CN 4-Pyridinecarboxamide, N-[2-(1-piperidinyl)phenyl]- (CA INDEX NAME)

REFERENCE COUNT:

35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Structure Search

=> FILE HCAPLUS

FILE 'HCAPLUS' ENTERED AT 16:46:55 ON 03 DEC 2007
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FILE COVERS 1907 - 3 Dec 2007 VOL 147 ISS 24 FILE LAST UPDATED: 2 Dec 2007 (20071202/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> D QUE L8

L5 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

L7 19 SEA FILE=REGISTRY SSS FUL L5

L8 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L7

=> S L8 NOT L16

L29 0 L8 NOT L16

=> FILE MARPAT

FILE 'MARPAT' ENTERED AT 16:47:11 ON 03 DEC 2007
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FILE CONTENT: 1961-PRESENT VOL 147 ISS 22 (20071130/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 2007249577 25 OCT 2007

DE 102006018869 18 OCT 2007

EP 1845097 17 OCT 2007

JP 2007273900 18 OCT 2007

WO 2007121687 01 NOV 2007

GB 2435830 12 SEP 2007 FR 2900050 26 OCT 2007 RU 2307835 10 OCT 2007 CA 2584745 13 OCT 2007

Expanded G-group definition display now available.

=> D QUE L28 L26 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation: Uploading strF.str



chain nodes :

7 8 9 10 11 12 22 23 24 25 28 29 48

ring nodes :

1 2 3 4 5 6 16 17 18 19 20 21 30 31 32 33 34 35 36 37 38 39

40 41 42 43 44 45 46 47

chain bonds :

1-29 4-28 6-7 7-8 8-16 9-10 11-12 22-23 22-24 22-25 37-48

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21 30-31 30-35

31-32 32-33 33-34 34-35 36-37 36-41 37-38 38-39 39-40 40-41 42-43 42-47

43-44 44-45

45-46 46-47

exact/norm bonds :

1-29 4-28 6-7 7-8 8-16 9-10 11-12 30-31 30-35 31-32 32-33 33-34 34-35

36-37 36-41 37-38 38-39 39-40 40-41 42-43 42-47 43-44 44-45 45-46 46-47

exact bonds :

22-23 22-24 22-25 37-48

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21

G1:[*1],[*2]

G2:H,X,[*3]

G3: [*4], [*5], [*6]

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

11:CLASS 12:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:CLASS

23:CLASS 24:CLASS

25:CLASS 28:CLASS 29:CLASS 30:Atom 31:Atom 32:Atom 33:Atom 34:Atom 35:Atom

36:Atom

37:Atom 38:Atom 39:Atom 40:Atom 41:Atom 42:Atom 43:Atom 44:Atom 45:Atom

46:Atom 47:Atom

48:CLASS

L28 6 SEA FILE=MARPAT SSS FUL L26

=> DUP REM L29 L28

L29 HAS NO ANSWERS

PROCESSING COMPLETED FOR L29

PROCESSING COMPLETED FOR L28

L30

6 DUP REM L29 L28 (0 DUPLICATES REMOVED)

ANSWERS '1-6' FROM FILE MARPAT

=> D IBIB AB QHIT L30 1-6

L30 ANSWER 1 OF 6 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

144:108321 MARPAT Full-text

TITLE:

Preparation of amino cyclopentyl heterocyclic and

carbocyclic modulators of chemokine receptor activity

INVENTOR(S):

Yang, Lihu; Lin, Songnian; Morriello, Gregori; Guo,

Liangqin; Zhou, Changyou

PATENT ASSIGNEE(S):

Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 141 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA'	rent i	NO.		KI	ND	DATE			A	PPLI	CATI	N NC	ο.	DATE			
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			•	-	-	-		•	•	•	•	•	•	ES,	•		•
														KM,			
		LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,
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		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	ŪG,	US,	UZ,	VC,	VN,	YU,
		ZA,	ZM,	ZW													
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KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM AU 2005257859 **A1** 20060105 AU 2005-257859 20050520 CA 2567851 20060105 CA 2005-2567851 20050520. A1 EP 1753740 20070221 20050520 A2 EP 2005-785401 AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU CN 1956975 20070502 CN 2005-80016231 20050520 Α IN 2006DN06362 Α 20070831 . IN 2006-DN6362 20061030 PRIORITY APPLN. INFO.: US 2004-573625P 20040521 WO 2005-US17836 20050520

AB Title compds. Q-E-X-G1 (I) and II [Q = piperidinyl, piperazinyl, etc.; E = cyclopentyl, cyclopentenyl, cyclobutyl, etc.; X = 5-7 membered (un)saturated carbocyclic or heterocyclic ring; G1 = ureido, aminosulfonyl, aminocarbonyl, etc.; G2 = single bond, divalent alkyl, aminosulfonyl, etc.; Z = C, N, where no more than two of Z are N; R3-5 = alkyl, OH, alkoxy, etc. when Z = C and absent, or O when Z = N; R2 = R3-5 or is a link to G2; R6 = R3-5 or is a link to any atom on X] are prepared For instance, III is prepared in 5 steps from cyclopentenone, 4-cyanobenzeneboronic acid, 4-phenylpiperidine•HCl and 4-trifluoromethyl-1,2-phenylenediamine. Compds. of the invention bind to the CCR-2 receptor with an IC50 < 1 µM. I are useful for the treatment of inflammatory and immunoregulatory disorders, allergic diseases, atopic conditions including allergic rhinitis, dermatitis, conjunctivitis, and asthma, as well as autoimmune pathologies such as rheumatoid arthritis and atherosclerosis.

MSTR 1

$$G1 = 6$$

$$G2 = (0-2) \text{ CH2 (opt. substd.)}$$

 $G3 = 10$

$$G6 = 50$$

G24 = bond G37 = 1541538-G41 G38 = phenylene G41 = 162 / 177 1642-G44 1648-1681 = 173 G44 1947-G43 G47 = C(0)G48 = 179-154 180-178 / 184-154 185-178 19991887 18401847 G49 = NH (opt. substd.) = pyridyl (opt. substd.) G51 Patent location: claim 1 Note: or pharmaceutically acceptable salts or diastereomers Note: additional ring, oxo, and double bond formation also claimed L30 ANSWER 2 OF 6 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 143:126753 MARPAT Full-text TITLE: Method of regulating phosphorylation of sr protein and antiviral agents comprising sr protein activity regulator as the active ingredient INVENTOR(S): Hagiwara, Masatoshi; Fukuhara, Takeshi; Suzuki, Masaaki; Hosoya, Takamitsu PATENT ASSIGNEE(S): Japan SOURCE: PCT Int. Appl., 122 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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WO 2005063293
                            20050714
                                           WO 2004-JP19393 20041224
                       A1
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
           LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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PRIORITY APPLN. INFO.:
                                           JP 2003-435085
                                                             20031226
                                           WO 2004-JP19393
                                                            20041224
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AB It is intended to provide: (1) antiviral agents lowering or inhibiting the activity of an SR protein, more specifically speaking, (i) an antiviral agent promoting the dephosphorylation of an SR protein and (ii) an antiviral agent inhibiting a protein phosphorylating an SR protein; (2) an antiviral agent inhibiting the expression of an SR protein; and (3) an antiviral agent activating a protein having an opposite function to an SR protein. It is also intended to provide compds. Which inhibit SRPK phosphorylating an SR protein. These compds. inhibit the activity of the SR protein and show an antiviral effect. Thus, antiviral agents which are efficacious against a novel virus and widely applicable and show a highly sustained effect are provided to cope with the occurrence of various novel viruses.

MSTR 1

G2 = NH

G4 = 4-pyridyl

G6 = C(0)

G8 = piperidino

Patent location:

claim 16

Note:

or pharmaceutically acceptable salts or hydrates

REFERENCE COUNT:

35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L30 ANSWER 3 OF 6 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 143:59808 MARPAT Full-text

TITLE:

Carboxamide inhibitors of c-fms kinase for treating

inflammation

INVENTOR(S):

Player, Mark R.; Baindur, Nand; Brandt, Benjamin;

Chadha, Naresh; Patch, Raymond J.; Asgari, Davoud;

Georgiadis, Taxiarchis M.

PATENT ASSIGNEE(S):

SOURCE:

U.S. Pat. Appl. Publ., 19 pp., Cont.-in-part of U.S.

Ser. No. 831,216.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	CENT	NO.		KI	ND	DATE			Α	PPLI	CATI	ON N	ο.	DATE		
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US	2005	1310	22	Α	1	2005	0616		U	s 20	04-9	7086	5	2004	1022	
US	2005	0041	12	Α	1	2005	0106		U	S 20	04-8	3121	6	2004	0426	
AU	2005	2994	76	Α	1	2006	0504		A	U 20	05-2	9947	6	2005	1020	
WO	2006	50474	79	A	2	2006	0504		W	0 20	05–บ	s383	07	2005	1020	
WO	2006	50474	79	A	3	2007	0104									
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1812425 A2 20070801 EP 2005-817168 20051020

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,

BA, HR, MK, YU

IN 2007KN01561 A 20070727
PRIORITY APPLN. INFO.:

IN 2007-KN1561 20070503 US 2003-465204P 20030425

CA, CH, GB, GD, KR, KZ,

US 2004-831216 20040426 US 2004-970865 20041022

WO 2005-US38307 20051020

OTHER SOURCE(S):

CASREACT 143:59808

AB Described are carboxamides which inhibit c-fms kinase (no data). The carboxamides may be used for treating inflammation, cancer, cardiovascular disease, etc. Thus, 15 carboxamides, such as 5-cyanofuran-2-carboxylic acid[2-(4-acetylaminopiperidin-1-yl)phenyl]amide, were synthesized.

MSTR 1

```
Serial No.:10/584,482
       = o-C6H4 (opt. substd. by Ph)
G2
       = NH
G3
       = C(0)
G4
       = pyridyl (opt. substd.)
       = piperidino (opt. substd.)
Patent location:
Note:
                          . or solvates, hydrates, tautomers or
                             pharmaceutically acceptable salts
L30 ANSWER 4 OF 6 MARPAT COPYRIGHT 2007 ACS on STN
                          141:410819 MARPAT Full-text
ACCESSION NUMBER:
                          Preparation of heterocyclic-carboxamide C-fms kinase
TITLE:
                          inhibitors
                          Player, Mark R.; Baindur, Nand; Brandt, Benjamin M.;
INVENTOR(S):
                          Chadha, Naresh; Patch, Raymond J.; Asgari, Davoud;
                          Georgiadis, Taxiarchis
PATENT ASSIGNEE(S):
                          3-Dimensional Pharmaceuticals, Inc., USA
SOURCE:
                          PCT Int. Appl., 51 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                      KIND DATE
                                            APPLICATION NO.
     WO 2004096795
                      A2
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                                            WO 2004-US12729 20040426
     WO 2004096795
                      A3
                             20050310
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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             SN, TD, TG
     CA 2536964
                       A1
                             20041111
                                            CA 2004-2536964 20040426
                                            EP 2004-750617
     EP 1631560
                             20060308
                       A2
                                                              20040426
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                                            JP 2006-513302
     JP 2007525460
                                                              20040426
                     T
     MX 2005PA11503
                             20060531
                                            MX 2005-PA11503 20051025
                       Α
     WO 2007123516
                             20071101
                                            WO 2006-US14886 20060420
                       A1
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             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
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CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,

KG, KZ, MD, RU, TJ, TM PRIORITY APPLN. INFO.:

VN, YU, ZA, ZM, ZW

US 2003-465204P 20030425

WO 2004-US12729 20040426

OTHER SOURCE(S):

CASREACT 141:410819

AB Title compds. I [A = Ph, naphthyl, biphenyl, etc.; R1 = H, aryl, acyl, etc.; X = CO, imino, CS, etc.; R2-3 = H, alkyl, aryl, cycloalkyl, etc.; W = Ph, naphthyl, etc.] are prepared For instance, 5-nitrofuran-2-carboxylic acid N-(2-(piperidin-1-yl)phenyl)amide is prepared from 5-nitrofuran-2- carbonyl chloride and 2-(piperidin-1-yl)aniline. Selected examples have IC50 < 1 μM for C-fms kinase. I are useful for the treatment of glomerulonephritis, rheumatoid arthritis, etc.

MSTR 1

G9-G19

G1 = o-C6H4 (opt. substd. by Ph)

G11 = C(0)

G13 = piperidino

G15 = pyridyl

G19 = 2

Patent location:

claim 1

Note:

or solvates, hydrates, tautomers or pharmaceutically acceptable salts also incorporates claims 2 and 3

Note:

L30 ANSWER 5 OF 6 MARPAT COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:

126:277494 MARPAT Full-text

TITLE:

Preparation of piperazinylbenzamides,

piperidylbenzamides, and analogs thereof as

inflammation and allergy inhibitors

INVENTOR(S):

Kawagoe, Keiichi; Shidonii, Kurifuoodo Baafuoodo; Yokohama, Shuichi; Miwa, Tamotsu; Nakajima, Hiroto;

Tsukada, Wataru

PATENT ASSIGNEE(S):

Daiichi Seiyaku Co, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 67 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent Japanese

LANGUAGE:

Japanes

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09059236	A	19970304	JP 1995-214431	19950823
PRIORITY APPLN. INFO.	: '		JP 1995-214431	19950823

AB The title compds. I [R1 = halo, etc.; R2 = halo, nitro, etc.; A = C(:Z)NR3F etc.; Z = O, etc.; R3 = (un)substituted aromatic hydrocarbon, etc.; R4 = H, etc.] are prepared N-(4-Chlorophenyl)-3-(4-methyl-1- piperazinyl)-2- nitrobenzamide at 50 mg/kg orally gave 79% inhibition of adjuvant arthritis in rats.

MSTR 1

G2---G1---G7---G9

G1 = phenylene (substd. by (1) G3) G2 = piperidino (substd. by (1) G14) G7 = 15-2 16-11

G8 1G10-1C

G8 = 0

G9 = pyridyl (opt. substd. by (1-3) G22)

G10 = NH

Derivative: or salts Patent location: claim 1

Note: substitution is restricted

L30 ANSWER 6 OF 6 MARPAT COPYRIGHT 2007 ACS on STN ACCESSION NUMBER: 123:339535 MARPAT Full-text

TITLE: Preparation of carbapenem derivatives as

antibacterials

INVENTOR(S): Nakagawa, Susumu; Fukatsu, Hiroshi; Ushijima, Ryosuke

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 256 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATI	ENT N	10.		KI	ND	DATE			Al	PPLI	CATIO	ON NO	э.	DATE			
WO S						1995	0831		W		95-JI			1995	0224		
		AU, AT,	•	•		DK,	ES,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE
CA 2	21841					1995								1995			
CA 2	21841	101		С		2005	1122										
AU 9	95182	240		Α		1995	0911		Αl	J 199	95-18	3240		1995	0224		
AU (68073	36		B	2	1997	0807		•								
EP 7	74738	31		A.	1.	1996	1211		EI	2 199	95-90	997	8	1995	0224		
EP 7	74738	31		В.	l	2001	1031							-			
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us 5707987	Α	19980113	US	1996-696910	19960823
PRIORITY APPLN. INFO.:			JР	1994-52686	19940225
			JP	1994-64606	19940328
			JP	1994-107568	19940422
		•	JР	1994-110289	19940426
			JP	1994-114288	19940428
			WO	1995-JP280	19950224

AΒ The title compds. [I; R1 represents hydrogen or lower alkyl; R2 represents hydrogen or a neg. charge; R3 represents hydrogen or lower alkyl; Ar represents lower alkyl, lower alkylsulfamoyl, etc. (each of which may be substituted by hydroxyl, di(lower alkyl)sulfonyl, etc.), or Ph, naphthyl or a group of formula α or β (each of which may be substituted by hydroxyl, di(lower alkyl)sulfamoyl, etc.), wherein A4 and A5 represent each a single bond, -NHSO2-, etc., and Het represents pyrrolinyl, 1,4diazabicyclo[2.2.2]octyl, etc. (each of which may be substituted by hydroxyl, carbamoylated lower alkyl, etc.); A1, A2, and A3 represent each a single bond or lower alkylene which may be substituted by lower alkyl, lower alkylsulfamoyl, etc. (each of which may be substituted by hydroxyl, di(lower alkyl)sulfamoyl, etc.) or may be substituted by pyridyl, pyridino, etc. (each of which may be substituted by lower alkyl, carbamoylated lower alkyl, etc.); and W represents sulfur, a single bond, etc.] and their pharmaceutically acceptable salts are prepared Thus, a solution of p-nitrophenyl (1R,5S,6S)-2diphenoxyphosphoryloxy-6-[(1R)-1- hydroxyethyl]-1-methyl-1-carbapen-2-em-3carboxylate and (3S,5S)-3-mercapto-1-p-nitrobenzyloxycarbonyl-5-(phenylthiomethyl) - pyrrolidine (preparation given) in MeCN containing diisopropylamide was allowed to react at 50° overnight to give 60% the title compound II (R = p-nitrobenzyloxycarbonyl), which was deprotected to give the monosodium salt of II [R = H]. In an in vitro study, this had an IC50 of 0.39 μg/mL against Staphylococcus aureus.

MSTR 1A

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G3 = 54-13 55-16
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5G6—5G5

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G6 = alkylene <containing 1-6 C> (opt. substd. by G7)
G7 = alkylsulfonyl <containing 1-6 C> (opt. substd.)
pyridyl (opt. substd.)
G8 = 106-15 107-17 / 108-15 109-17 / 110-15 112-17

166-169 166-1691 166-1792
```

G11 = NHG12 = 123

1235 T248

= phenylene G18 = piperidino

Derivative:

Patent location:

or pharmaceutically acceptable salts or esters claim $\ensuremath{\mathbf{1}}$

Search History

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                OR 98-59-9/BI)
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